

Claims:

1. A pharmaceutical gel preparation including at least one pharmaceutically active ionic peptide compound mixed in a predetermined amount of the value X_{optimum} (in mg of peptide per ml of the preparation) with an aqueous solution of an inorganic or acetic acid salt in a predetermined concentration of the value Y_{optimum} (in % weight/volume), and after the mixing the administration can take place immediately, or a standing time of up to about 120 minutes, preferably between about 10 to about 120 minutes, particularly preferably between about 15 to 60 minutes is observed, and it being possible for the value X_{optimum} to be selected by a test method A including the stages of administration of various amounts X_n (number of different amounts n, where $n \geq 1$) (in mg) of the peptide as a mixture with an isotonic aqueous solution of mannitol onto or to a test system and selection of the amount X_{optimum} (in mg of peptide per ml of mixture) which provided in the experiment the most favorable blood plasma levels of the peptide in the test system in relation to C_{max} (maximum blood plasma concentration) and t_{max} (time until C_{max} is reached), and the concentration Y_{optimum} being selected by a test method B including the stages of administration of the amount X_{optimum} (in mg of peptide per ml of mixture) of the peptide as a mixture with aqueous solutions which differ in the concentration Y_n (number of different concentrations n, where $n \geq 1$) (in % weight/volume) onto or to a test system and selection of the concentration Y_{optimum} (in % weight/volume) was fixed as the concentration which in the experiment resulted in the highest value for the plasma concentration C_{active} , where $C_{\text{min}} < C_{\text{active}} > C_{\text{max}}$ ($C_{\text{min}} =$ lowest plasma concentration of the peptide at which the peptide still has an adequate pharmaceutical effect in the experiment). At the same time, it has an influence on the

time t_{active} until the highest concentration in the plasma is reached, where $t_{active} > t_{max}$.

2. The pharmaceutical preparation as claimed in
5 claim 1, characterized in that the pharmaceutically active ionic peptide compound is cationic.

3. The pharmaceutical preparation as claimed in
claim 1, characterized in that the pharmaceutically
10 active ionic peptide compound is anionic.

4. The pharmaceutical preparation as claimed in
claim 1, characterized in that the pharmaceutically active ionic peptide compound is a mono-, di- or multi-
15 valent cationic or anionic peptide.

5. The pharmaceutical preparation as claimed in
claim 1, characterized in that the pharmaceutically active ionic peptide compound is a mono-, di- or multi-
20 valent ampholytic peptide.

6. The pharmaceutical preparation as claimed in any
of claims 1 to 5, characterized in that the pharmaceutically active ionic peptide compound has a length
25 of from 5 to 20 amino acids.

7. The pharmaceutical preparation as claimed in any
of claims 1 to 5, characterized in that the pharmaceutically active ionic peptide compound has a length
30 of from 8 to 12 amino acids.

8. The pharmaceutical preparation as claimed in any
of claims 1 to 7, characterized in that the pharmaceutically active ionic peptide compound is a GnRH
35 analog.

9. The pharmaceutical preparation as claimed in any
of claims 1 to 7, characterized in that the pharma-

aceutically active ionic peptide compound is a GnRH antagonist.

10. The pharmaceutical preparation as claimed in any
5 of claims 1 to 9, characterized in that the pharmaceu-
tically active ionic peptide compound has been
selected from the group consisting of cetrorelix,
teverelix, abarelix, ganirelix, azaline B, antide,
detirelix, ramorelix, degarelix, D-63153 or their
10 pharmaceutically active salt or mixtures thereof.

11. The pharmaceutical preparation as claimed in any
of claims 1 to 10, characterized in that the pharmaceu-
tically active ionic peptide compound is the GnRH
15 antagonist D-63153.

12. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
inorganic salt or the acetic acid salt is a physio-
20 logically tolerated salt.

13. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
aqueous inorganic salt or acetic acid salt has been
25 selected from the group consisting of sodium chloride,
calcium chloride, magnesium chloride, sodium acetate,
calcium acetate and magnesium acetate.

14. The pharmaceutical preparation as claimed in any
30 of the aforementioned claims, characterized in that the
mixture of the pharmaceutically active ionic peptide
compound and of the aqueous solution of the inorganic
salt or of the acetic acid salt is a liquid suspension
or a semisolid dispersion.

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15. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
amount X of the pharmaceutically active ionic peptide
compound is in the range from about 5 to about 50 mg

per ml of the total amount of the pharmaceutical preparation.

16. The pharmaceutical preparation as claimed in any
5 of the aforementioned claims, characterized in that the
amount X of the pharmaceutically active ionic peptide
compound is in the range from about 10 to about 50 mg
per ml of the total amount of the pharmaceutical
preparation.

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17. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
amount X of the pharmaceutically active ionic peptide
compound is in the range from about 20 to about 30 mg
15 per ml of the total amount of the pharmaceutical
preparation.

18. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
20 amount X of the pharmaceutically active ionic peptide
compound is in the region of about 25 mg per ml of the
total amount of the pharmaceutical preparation.

19. The pharmaceutical preparation as claimed in any
25 of the aforementioned claims, characterized in that
D-63153 is the pharmaceutically active ionic peptide
compound, and the amount X is in the range from about 5
to about 50 mg per ml of the total amount of the
pharmaceutical preparation.

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20. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that
D-63153 is the pharmaceutically active ionic peptide
compound, and the amount X is in the range from about
35 10 to about 50 mg per ml of the total amount of the
pharmaceutical preparation.

21. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that

D-63153 is the pharmaceutically active ionic peptide compound, and the amount X is in the range from about 20 to about 30 mg per ml of the total amount of the pharmaceutical preparation.

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22. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that D-63153 is the pharmaceutically active ionic peptide compound, and the amount X is in the region of about 10 25 mg per ml of the total amount of the pharmaceutical preparation.

23. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that the 15 concentration Y of the aqueous inorganic or acetic acid salt solution is equal to or less than 0.9% (weight/volume).

24. The pharmaceutical preparation as claimed in any 20 of the aforementioned claims, characterized in that the concentration Y of the aqueous inorganic or acetic acid salt solution is in the range from about 0.01% to about 0.9% (weight/volume).

25. The pharmaceutical preparation as claimed in any 30 of the aforementioned claims, characterized in that the concentration Y of the aqueous inorganic or acetic acid salt solution is in the range from about 0.05% to about 0.5% (weight/volume).

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26. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that the concentration Y of the aqueous inorganic or acetic acid salt solution is about 0.1% (weight/volume).

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27. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that the inorganic salt is sodium chloride, and in that the

concentration Y is equal to or less than about 0.9% (weight/volume).

28. The pharmaceutical preparation as claimed in any
5 of the aforementioned claims, characterized in that the
inorganic salt is sodium chloride, and in that the
concentration Y is in the range from 0.01% to about
0.9% (weight/volume).

10 29. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
inorganic salt is sodium chloride, and in that the
concentration Y is in the range from 0.05% to about
0.5% (weight/volume).

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30. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that the
inorganic salt is sodium chloride, and in that the
concentration Y is about 0.1% (weight/volume).

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31. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that at
least one of the pharmaceutically active ionic peptide
compound is D-63153, and the inorganic salt is sodium
25 chloride.

32. The pharmaceutical preparation as claimed in any
of the aforementioned claims, characterized in that at
least one of the pharmaceutically active ionic peptide
30 compound is D-63153, and the amount X thereof is about
25 ml per ml of the preparation, and in that the
inorganic salt is sodium chloride, and the concentration
Y thereof is about 0.1% (weight/volume).

35 33. A method for producing a pharmaceutical preparation
including the steps A) bringing together an amount
 $X_{optimum}$ (in mg per ml of the finished preparation) of at
least one pharmaceutically active peptide compound in
lyophilized form and an aqueous solution of an

inorganic or acetic acid salt in a concentration with the value $Y_{optimum}$ (% weight/volume) and A) mixing the components.

5 34. The method for producing a pharmaceutical preparation as claimed in claim 33, characterized in that the pharmaceutically active ionic peptide compound is D-63153, and the inorganic salt is sodium chloride.

10 35. The method for producing a pharmaceutical preparation as claimed in claim 33, characterized in that the pharmaceutically active ionic peptide compound is D-63153, and the amount thereof is about 25 mg/ml, and in that the inorganic salt is sodium chloride, and the 15 concentration thereof is about 0.1% (weight/volume).

20 36. The method for producing a pharmaceutical preparation as claimed in any of the aforementioned claims, further comprising the step of sterilization of the peptide formulation by irradiation with gamma rays or electron beams takes place.

25 37. The method for producing a pharmaceutical preparation as claimed in any of the aforementioned claims, where the production of the peptide formulation takes place with use of aseptic procedures.

30 38. A kit for producing a pharmaceutical preparation, including a previously fixed amount X (in mg per ml of the finished preparation) of a pharmaceutically active ionic peptide compound in lyophilized form and of an aqueous solution of an inorganic or acetic acid salt in a previously fixed concentration Y % (weight/volume).

35 39. The kit as claimed in claim 36, characterized in that the pharmaceutically active peptide compound is D-63153 in lyophilized form.

40. The kit as claimed in claim 36, characterized in that the D-63153 lyophilizate additionally comprises mannitol.

5 41. The kit as claimed in claim 36, characterized in that the inorganic salt is sodium chloride.

10 42. The kit as claimed in any of the preceding claims, characterized in that the amount X of D-63153 is about 25 mg per finished preparation and the concentration of the aqueous sodium chloride solution is about 0.1% weight/volume.

15 43. A method for treating a patient with a pharmaceutically active peptide compound, characterized in that a pharmaceutical preparation as claimed in any of the aforementioned claims is administered subcutaneously or intramuscularly to the patient by means of a syringe.

20 44. The method as claimed in any of the aforementioned claims, characterized in that the administered pharmaceutical preparation displays a sustained pharmaceutical activity.

25 45. The method as claimed in any of the aforementioned claims, characterized in that the administered pharmaceutical preparation displays a sustained pharmaceutical activity for at least 4 weeks.

30 46. The method as claimed in any of the aforementioned claims, characterized in that the administered pharmaceutical preparation displays a sustained pharmaceutical activity for at least 8 weeks.

35 47. The method as claimed in any of the aforementioned claims, characterized in that the administered pharmaceutical preparation displays a sustained pharmaceutical activity for at least 12 weeks.

48. A method for treating a hormone-dependent disorder in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in any of the aforementioned claims in a patient requiring
5 this.

49. A method for treating prostate cancer in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in any of the
10 aforementioned claims in a patient requiring this.

50. A method for treating breast cancer in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in any of the
15 aforementioned claims in a patient requiring this.

51. A method for treating uterine myomas in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in any of the
20 aforementioned claims in a patient requiring this.

52. A method for treating endometriosis in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in any of the
25 aforementioned claims in a patient requiring this.

53. A method for treating precocious puberty in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in any of
30 the aforementioned claims in a patient requiring this.

54. A method for modifying the reproductive function in a patient by subcutaneous or intramuscular administration of a pharmaceutical preparation as claimed in
35 any of the aforementioned claims in a patient requiring this.

55. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that the

mixture of the pharmaceutically active ionic peptide compound and of the aqueous solution of the inorganic salt or of the acetic acid salt is a molecular-dispersed or colloidal mixture which may be of liquid
5 to semisolid consistency.

56. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that a colloidal dispersion is formed by reconstitution.
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57. The pharmaceutical preparation as claimed in any of the aforementioned claims, characterized in that a colloidal dispersion is formed by storage or leaving to stand after reconstitution and changes its viscosity as
15 a function of time and thus improves the reproducibility of the delayed release of active ingredient.

58. A kit comprising a lyophilized pharmaceutically active peptide, for example D-63153, where appropriate
20 together with one or more pharmaceutically acceptable excipients or additives, and a low-concentration aqueous solution of an inorganic salt, preferably sodium chloride.